Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L2	1439	544/292, 544/283, 544/284	US-PGPUB; USPAT	OR	OFF	2005/12/07 16:26
L3	437	544/283	US-PGPUB; USPAT	OR	OFF	2005/12/07 16:26

KH

Day : Wednesday

Date: 12/7/2005
Time: 16:22:41

PALM INTRANET

Inventor Information for 10/809635

Inventor Name	City	State/Country
FENG, JUN	CARLSBAD	CALIFORNIA
GWALTNEY, STEPHEN L.	SAN DIEGO	CALIFORNIA
KALDOR, STEPHEN W.	SAN DIEGO	CALIFORNIA
STAFFORD, JEFFREY A.	SAN DIEGO	CALIFORNIA
WALLACE, MICHAEL B.	SAN DIEGO	CALIFORNIA
ZHANG, ZHIYUAN	SAN DIEGO	CALIFORNIA

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity Data | Foreign Data

Search Another: Application# [ ] Search **or Patent#** [ ] Search

PCT / [ ] / [ ] Search **or PG PUBS #** [ ] Search

Attorney Docket # [ ] Search

Bar Code # [ ] Search

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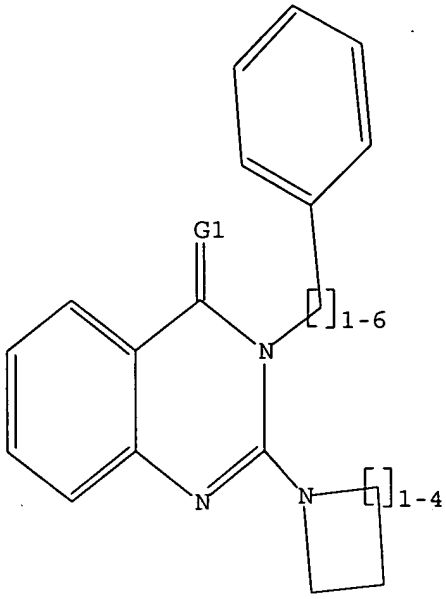
Back to PALM | ASSIGNMENT | OASIS | Home page



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED       30 ITERATIONS                                 7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          272 TO      928
PROJECTED ANSWERS:               7 TO      298

L2             7 SEA SSS SAM L1

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SEARCH TIME: 00.00.01

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                                                 ENTRY    SESSION
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FILE 'CAPLUS' ENTERED AT 10:24:05 ON 07 DEC 2005
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FILE COVERS 1907 - 7 Dec 2005 VOL 143 ISS 24
FILE LAST UPDATED: 6 Dec 2005 (20051206/ED)

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L4 5 L3

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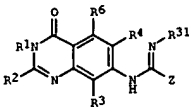
L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:490293 CAPLUS
DOCUMENT NUMBER: 143:43903
TITLE: Preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation
INVENTOR(S): Boyce, Rustum S.; Speake, Jason D.; Phillips, James
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051391	A1	20050609	WO 2004-US39020	20041119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005192297	A1	20050901	US 2004-993147	20041119
PRIORITY APPLN. INFO.:			US 2003-523336P P	20031119
			US 2003-524492P P	20031124

OTHER SOURCE(S): MARPAT 143:43903
GI



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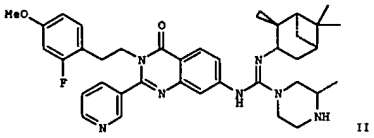


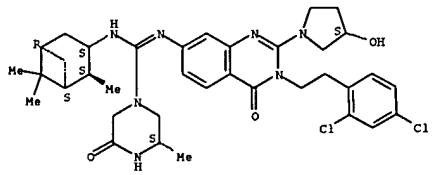
II

AB Title compds. {I; R1 = (substituted) aralkyl, heteroarylalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R2 = H, (substituted) aralkyl, heteroarylalkyl, alkoxy, alkylamino, dialkylamino, aryl, heteroaryl, heterocyclyl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3, R4, R6 = H, Cl, F, Br, iodo, OH, NH2, cyano, NO2, (substituted) alkoxy, alkyl; R31 = H, (substituted) alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl; Z = (substituted) 3-oxopiperazinyl; and tautomers}, were prepared Thus, title compound (II) (preparation via coupling of 6-methylpiperazin-2-one with the corresponding quinazolinylthiourea derivative in the presence of polymer-supported carbodiimide) showed a plasma half life of 1.9 h in mice.

IT **817626-63-4P 817627-21-7P 817627-22-8P**
817627-28-4P 817627-29-5P 817627-30-8P
817627-35-3P 817627-36-4P 817627-41-1P
817627-42-2P 817627-43-3P 817627-44-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation)

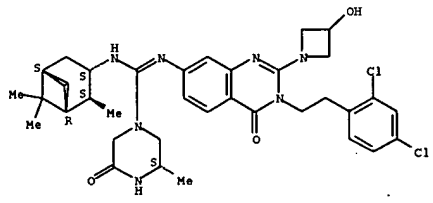
RN 817626-63-4 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



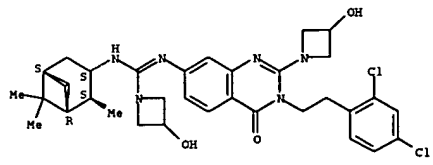
RN 817627-21-7 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



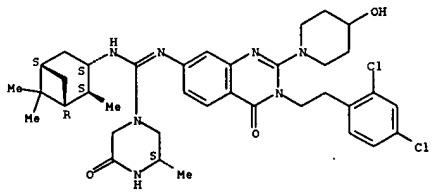
RN 817627-22-8 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



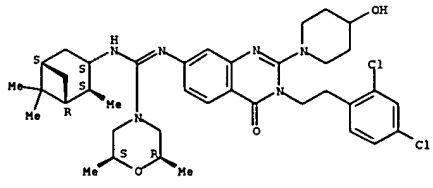
RN 817627-28-4 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-29-5 CAPLUS
CN 4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-2,6-dimethyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (2R,6S)- (9CI) (CA INDEX NAME)

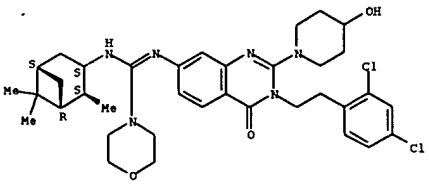
Absolute stereochemistry.



RN 817627-30-8 CAPLUS
CN 4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

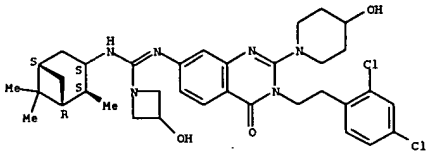
Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-35-3 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

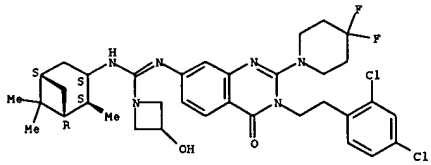
Absolute stereochemistry.



RN 817627-36-4 CAPLUS
CN 1-Piperazinecarboximidamide, 4-cyano-N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3,5-dimethyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,5S)- (9CI) (CA INDEX NAME)

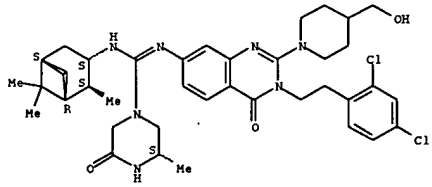
Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



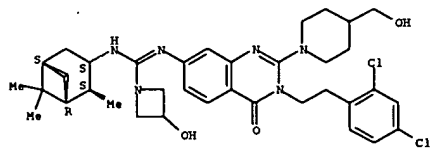
RN 817627-43-3 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-44-4 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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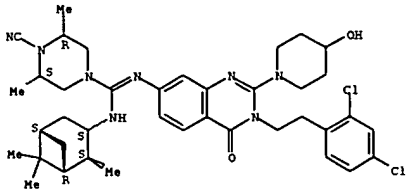
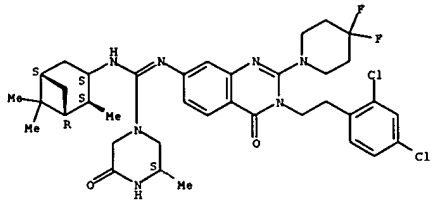
L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-41-1 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-42-2 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1156498 CAPLUS
DOCUMENT NUMBER: 142:93848
TITLE: Preparation of guanidino-substituted quinazolinone compounds as MC4-R agonists
INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron; Conlee, Christopher R.; Thompson, Brian D.; De Armas, Kuntz Judith; Musso, David L.; Barvian, Kevin K.; Thomson, Stephen A.; Swain, William R.; Du, Kien S.; Chauder, Brian A.; Speake, Jason D.; Bishop, Michael J.
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004112793	A1	20041229	WO 2004-US15959	20040521
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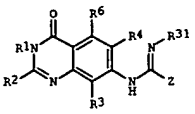
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005059662	A1	20050317	US 2004-850967	20040521
PRIORITY APPLN. INFO.:			US 2003-473317P	P 20030523
			US 2003-523336P	P 20031119
			US 2003-524492P	P 20031124

OTHER SOURCE(S): MARPAT 142:93848
GI

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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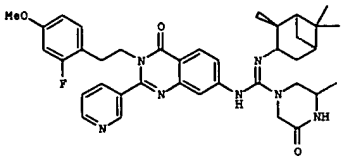


II

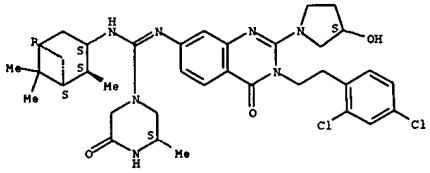
AB A variety of small mol., guanidine-containing mols. capable of acting as MC4-R agonists such as I-III [Z1 = CR4, N; Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted arylalkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, arylalkyl, aryl, etc.; R4-R6 = H, Cl, I, F, Br, OH, etc.; W = IV (wherein R11, R12 = H, (un)substituted alkyl, aryl, etc.; at least one of R11 and R12 is (un)substituted heterocyclylalkyl; R13 = H, (un)substituted aryl, alkyl, etc.; R14 = H, (un)substituted alkyl, cycloalkyl, etc.)] are provided. General procedures used in the synthesis of compds. I-III are described. E.g., a multi-step synthesis of (1S,2S,3S,5R)-V, was given. The exemplified compds. I-III were tested against MC4-R and exhibited -logEC50 values above about 3. The compds. I are useful in treating MC4-R mediated diseases such as obesity and type II diabetes. The pharmaceutical composition comprising the compound I is disclosed.

IT **817626-63-4P 817627-21-7P 817627-22-8P**
817627-28-4P 817627-29-5P 817627-30-8P
817627-35-3P 817627-36-4P 817627-41-1P
817627-42-2P 817627-43-3P 817627-44-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of guanidino-substituted quinazolinone compds. as MC4-R agonists)

RN 817626-63-4 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

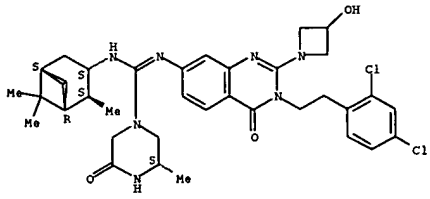
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



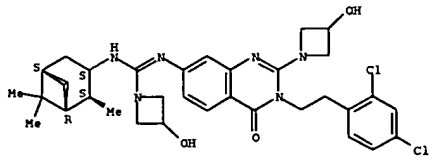
RN 817627-21-7 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-22-8 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

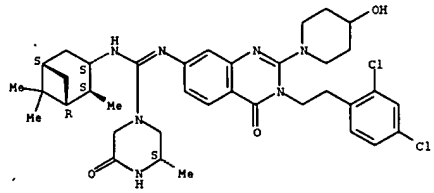
Absolute stereochemistry.



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

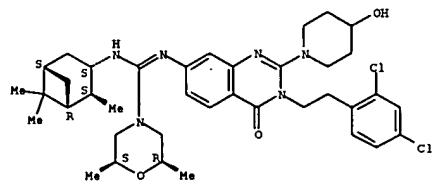
RN 817627-28-4 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-29-5 CAPLUS
CN 4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-2,6-dimethyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (2R,6S)- (9CI) (CA INDEX NAME)

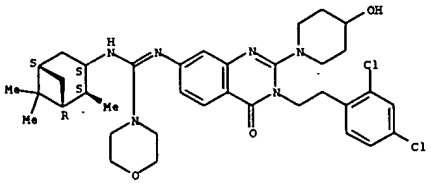
Absolute stereochemistry.



RN 817627-30-8 CAPLUS
CN 4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

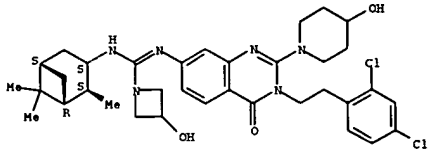
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-35-3 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

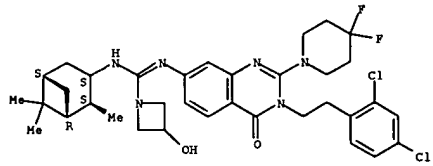
Absolute stereochemistry.



RN 817627-36-4 CAPLUS
CN 1-Piperazinecarboximidamide, 4-cyano-N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3,5-dimethyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,5S)- (9CI) (CA INDEX NAME)

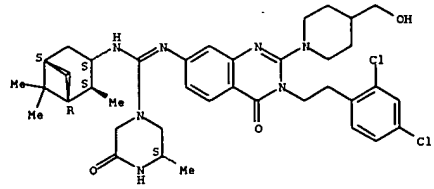
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



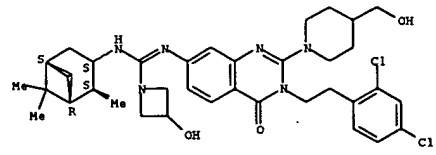
RN 817627-43-3 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



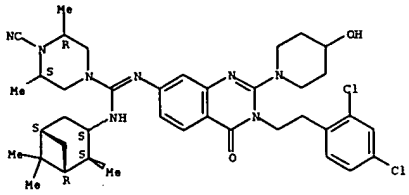
RN 817627-44-4 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



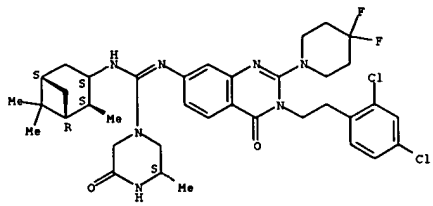
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-41-1 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-42-2 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

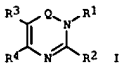
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

own work

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:857326 CAPLUS
DOCUMENT NUMBER: 141:309639
TITLE: Dipeptidyl peptidase inhibitors
INVENTOR(S): Feng, Jun; Gwaltney, Stephen L.; Kaldor, Stephen W.; Stafford, Jeffrey A.; Wallace, Michael B.; Zhang, Zhiyuan
PATENT ASSIGNEE(S): Syrrx, Inc., USA
SOURCE: PCT Int. Appl., 244 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087053	A2	20041014	WO 2004-US9217	20040324
WO 2004087053	C2	20041111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004242568	A1	20041202	US 2004-809636	20040324
US 2004242566	A1	20041202	US 2004-809638	20040324
US 2004259870	A1	20041223	US 2004-809637	20040324
US 2005004117	A1	20050106	US 2004-809635	20040324

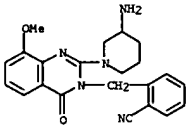
PRIORITY APPLN. INFO.: US 2003-457785P P 20030325
OTHER SOURCE(S): MARPAT 141:309639
GI



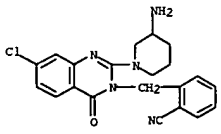
I

AB Dipeptidyl peptidase IV inhibitors I [Q = CO, SO, SO2, C:NR5; R1 = ZR6; Z = moiety providing 1-6 atom separation between R6 and ring; R2 = (substituted)3-7-membered ring; R3,R4 = taken together form a (substituted)5-6-membered ring; R5 = H, (substituted)alkyl, cycloalkyl, etc.; R6 = (substituted)C3-7-cycloalkyl or aryl] are disclosed. Thus, 2-[2-(3-aminopiperidin-1-yl)-6,7-dimethoxy-4-oxo-4H-quinazolin-3-ylmethyl]benzonitrile (I; R1 = 2-cyanophenylmethyl; R2 = 3-aminopiperidin-1-yl; R3,R4 = dimethoxyphenyl) was synthesized. This

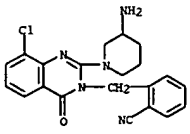
L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



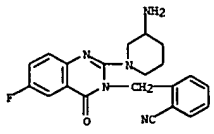
RN 769157-57-5 CAPLUS
CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-7-chloro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



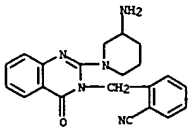
RN 769157-58-6 CAPLUS
CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-8-chloro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



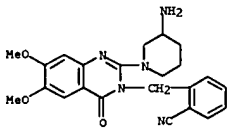
RN 769157-59-7 CAPLUS
CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
compd. exhibited enhanced stability in rat liver microsomes.
IT 769157-54-2P 769157-55-3P 769157-56-4P
769157-57-5P 769157-58-6P 769157-59-7P
769157-63-3P 769157-65-5P 769157-71-3P
769157-81-5P 769157-89-3P 769157-91-7P
769157-92-8P 769157-93-9P 769157-94-0P
769157-95-1P 769158-01-2P 769158-02-3P
769158-03-4P 769158-04-5P 769158-05-6P
769158-06-7P 769158-14-7P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(dipeptidyl peptidase inhibitors)
RN 769157-54-2 CAPLUS
CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



RN 769157-55-3 CAPLUS
CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

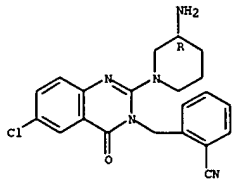


RN 769157-56-4 CAPLUS
CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-8-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 769157-63-3 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-chloro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

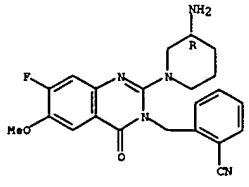


RN 769157-65-5 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-7-fluoro-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 769157-64-4
CMF C22 H22 F N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

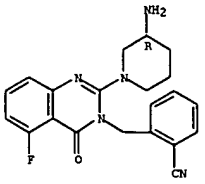
L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 769157-71-3 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 769157-70-2
CMF C21 H20 F N5 O

Absolute stereochemistry.



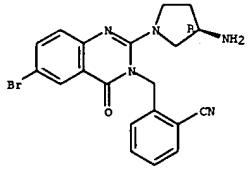
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 769157-81-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-3-[[2-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

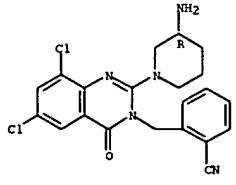


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 769157-92-8 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,8-dichloro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

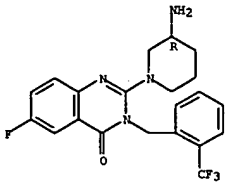
Absolute stereochemistry.



RN 769157-93-9 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

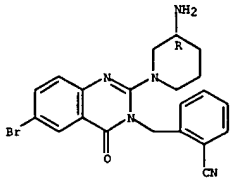
Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 769157-89-3 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



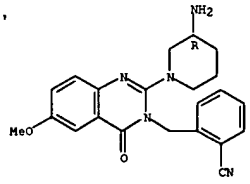
RN 769157-91-7 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-pyrrolidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 769157-90-6
CMF C20 H18 Br N5 O

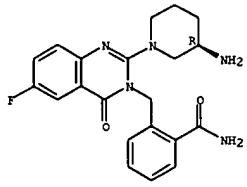
Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



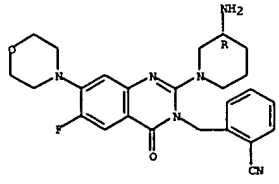
RN 769157-94-0 CAPLUS
CN Benzamide, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



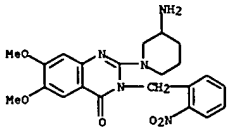
RN 769157-95-1 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-7-(4-morpholinyl)-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



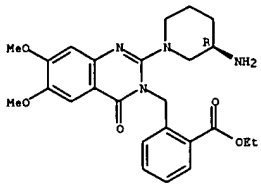
RN 769158-01-2 CAPLUS

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 4(3H)-Quinazolinone, 2-(3-amino-1-piperidinyl)-6,7-dimethoxy-3-[(2-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 769158-02-3 CAPLUS
CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

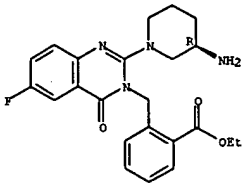
Absolute stereochemistry.



RN 769158-03-4 CAPLUS
CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

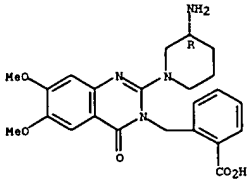
Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 769158-04-5 CAPLUS
CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

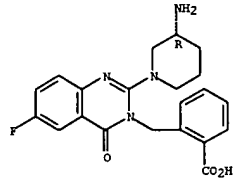
Absolute stereochemistry.



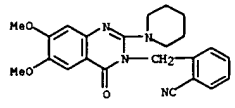
RN 769158-05-6 CAPLUS
CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

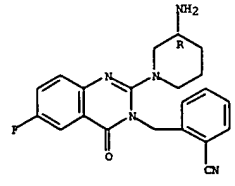


RN 769158-06-7 CAPLUS
CN Benzonitrile, 2-[[6,7-dimethoxy-4-oxo-2-(1-piperidinyl)-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



RN 769158-14-7 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

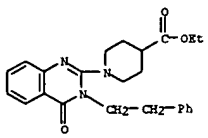
Absolute stereochemistry.



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:211993 CAPLUS
DOCUMENT NUMBER: 140:264510
TITLE: 4-Oxo-quinazoline agonist ligands for the liver X nuclear receptor and their use in treatment of disorders of lipid metabolism
INVENTOR(S): Kober, Ingo; Albers, Michael; Koegl, Manfred; Blume, Beatrix; Deuschle, Ulrich; Kremoser, Claus
PATENT ASSIGNEE(S): Phenex Pharmaceuticals A.-G., Germany
SOURCE: Eur. Pat. Appl., 85 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1398032	A1	20040317	EP 2003-20417	20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
EP 1407774	A1	20040414	EP 2002-20255	20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

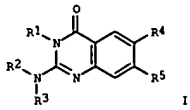
PRIORITY APPLN. INFO.: EP 2002-20255 A 20020910
OTHER SOURCE(S): MARPAT 140:264510
AB 4-Oxo-quinazoline ligands for liver X receptors (LXR receptors, LXRα/NR1 H3 and LXRbeta/NR1H2) acting as selective agonists of the receptor are described. The invention further relates to the treatment of diseases and/or conditions through binding of said nuclear receptors and selective agonistic effects by said compds. and the production of medicaments using said compds. In particular the compds. are useful in the treatment of hypercholesteremia, obesity or other diseases associated with elevated lipoprotein (LDL) levels. Reporter gene methods of screening for effective agonists of the receptor are described.
IT 671211-38-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as liver X receptor agonist; 4-oxo-quinazoline agonist ligands for liver X nuclear receptor and their use in treatment of disorders of lipid metabolism)
RN 671211-38-4 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[3,4-dihydro-4-oxo-3-(2-phenylethyl)-2-quinazolinyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:543605 CAPLUS
DOCUMENT NUMBER: 138:106649
TITLE: Solid-phase synthesis of quinazolin-4(3H)-ones with three-point diversity
AUTHOR(S): Kesarwani, A. P.; Srivastava, G. K.; Rastogi, S. K.; Kundu, B.
CORPORATE SOURCE: Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India
SOURCE: Tetrahedron Letters (2002), 43(32), 5579-5581
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:106649
GI

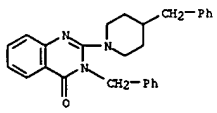


I

AB A versatile method for the solid-phase synthesis of differentially substituted quinazolin-4(3H)-ones I (R1 = Et, Ph, PhCH2; R2 = Bu, R3 = Me; R2R3N = N-methylpiperazino, 4-benzylpiperidino, morpholino; R4 = R5 = H, R4R5 = CH:CHCH:CH) was developed using immobilized arylguanidines. The latter were obtained by treating the amino group of polymer-linked aminoaryl amide with isothiocyanates R1NCS followed by coupling of resulting thioureas with secondary amines R3NHR4. Under mild acidic conditions, these immobilized arylguanidines underwent cyclization/polymer matrix cleavage to give I in high yields and purities.

IT **485402-04-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of (amino)quinazolinones with three points of diversity from aminoaryl carboxylic acids, isothiocyanates, and secondary amines)

RN 485402-04-8 CAPLUS
CN 4(3H)-Quinazolinone, 3-(phenylmethyl)-2-[4-(phenylmethyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT